PATENTS

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of

Ulrich JORDIS et al. Box PCT

Serial No. (unknown) (PCT/AT01/00082) Application Branch

Filed herewith

DERIVATIVES AND ANALOGS OF GALANTHAMINE

PRELIMINARY AMENDMENT

Commissioner for Patents

Washington, D.C. 20231

Sir:

Prior to the first Official Action and calculation of the filing fee, please amend the above-identified application as follows:

IN THE CLAIMS:

Claims 5-7, 9-11, 13-15, 17-19, 22, 24-26, and 28-32 have been amended as follows:

--5. Compound according to claim 1, in which substituent R_6 means a triethylsilyl, trimethylsilyl, t-butyldimethylsilyl or dimethylphenylsilyl.--

--6. Compound according to claim 1, in which substituent R_6 means tetrahydropyranyl, tetrahydrofuranyl, methoxymethyl, ethoxymethyl, (2-methoxypropyl), ethoxyethyl, phenoxymethyl or (1-phenoxyethyl).--

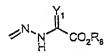
--7. Compound according to claim 1, in which R_4 is hydrogen, and R_5 is OH, CN, CO_2-alkyl, $CONR_aR_b$, in which R_a is hydrogen, a low (C_1-C_6), optionally branched, cyclic, substi-

tuted alkyl group, and R_b is hydrogen, a low (C_1-C_6), optionally branched or substituted alkyl group, or R_a+R_b together are $-(CH_2)_n-$, in which n means 2 to 6, or $-(CH_2)_nE(CH_2)_n-$, in which E is the same as NH, N-alkyl, O, or S, and n is 0 to 5, aryl (phenyl or naphthyl), or a 6-heterocycle.--

--9. Compound according to claim 1, in which R_5 has a meaning other than hydrogen, and R_4 is OH.

10. Compound according to claim 1, in which R_4 and R_5 together are carbonyl (=O), hydrazone (=N-NH-R_9, =N-NR_9R_{10}) or oxime (=N-OR_{10}), in which R_9 is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl-, (Ar)alkylcarbonyloxy group or a sulfonic acid group, such as tosyl or mesyl, and R_{10} is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl group, a sulfonic acid group, such as a tosyl group or mesyl group.--

--11. Compound according to claim 1, in which R_4 and R_5 together are substituents of the type

in which Y_1, Y_2 are the same or different and mean O, S, NH or N-R_9 (free valences are in any case hydrogen), in which R_9 is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl-,

(Ar)alkylcarbonyloxy group or a sulfonic acid group, such as tosyl or mesyl.--

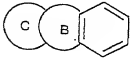
--13. Compound according to claim 1, in which G_1 and G_2 together or separately mean:

$-C(R_{11}\ R_{12})-$, in which R_{11} and R_{12} mean hydrogen, OH, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkyloxy or aryloxy group or together an alkylspiro group (C_3-C_7 spiro ring).--

--14. Compound according to claim 1, in which G_1 and G_2 together mean

in which m is 1 to 7.--

--15. Compound according to claim 1, in which tricyclic substituent Tr is a condensed benzene ring of general formula



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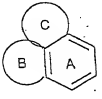

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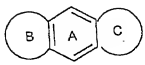


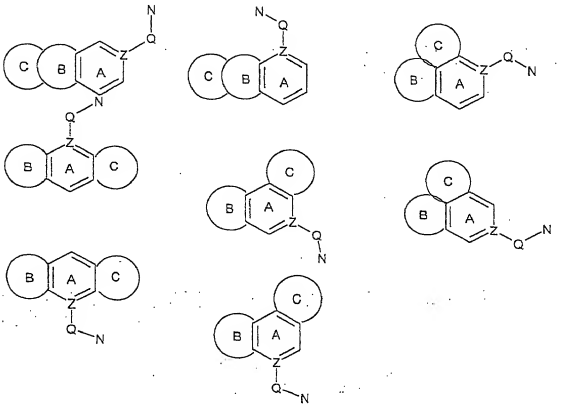
--17. Compound according to claim 15, in which one of rings B and C is an optionally substituted heterocyclic ring and the other is a substituted ring that can contain one or more heteroatoms in the ring.--

--18. Compound according to claim 15, in which the benzene ring is substituted in at least one place, whereby these substituents are halogens, such as fluorine and chlorine, halo-C_1-C_3 alkyl groups, such as trifluoromethyl, C_1-C_3 alkyl groups, such as methyl, C_1-C_3 alkoxy groups, such as methoxy, and the hydroxy group, especially a halogen, such as fluorine.--

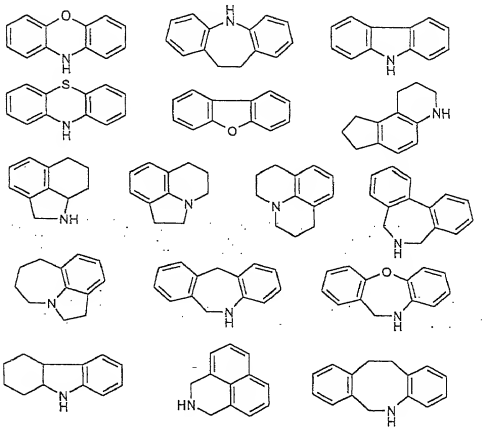
--19. Compound according to claim 15, in which the optionally substituted heterocyclic ring B or C is a 4- to 14-membered ring, preferably a 5- to 7-membered ring, especially a 5- to 7-membered, nonaromatic ring, which contains one or two identical or different heteroatoms.--

--22. Compound according to claim 15, in which the 5- to 8-membered ring B or C is a 5- to 8-membered heterocyclic or alicyclic ring, or a carbon ring that is substituted at least in one place.--

--24. Compound according to claim 1, in which tricyclic substituent Tr is a group from one of the formulas that is presented below



--25. Compound according to claim 1, in which tricyclic substituent Tr is a group from one of the formulas that is presented below



--26. Compound according to claim 1, in which Tr is a cyclic or bicyclic hydrocarbon.--

--28. Compound according to claim 1, in which substituent Tr is substituted at least in one place with R_1, and R_1 has the meanings indicated in claim 1.--

--29. Compound according to claim 1, in which substituent W is nitrogen and/or substituent G_1 is $-(CH_2)_x-$, in which x is equal to 1 or 2 and G_2 means $-(CH_2)_y-$, in which y is equal to 0 to 2, provided that x + y together mean at least 2 and at most 4.--

--30. Compound according to claim 1, in which substituents G_1 and G_2 together or separately have the meaning of $-CR_{11}R_{12}-$, in which R_{11} and R_{12} mean hydrogen, hydroxy, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkoxy or aryloxy group.--

--31. Compound according to claim 1, in which G_1 and G_2 together are an alkylspiro group (C_3-C_7 spiro ring).--

--32. Process for the production of the compounds of claim 1, characterized in that the combinatory or parallel-synthesis technology is used, whereby the basic molecule is immobilized by a functional group (linker) in a solid phase, which implements the synthesis of the target compound and then --this target compound is separated from the solid phase.--

R E M A R K S

The above changes in the claims merely place this national stage application in the same condition as it was during Chapter I of the international stage, with the multiple dependencies being removed.

Attached hereto is a marked-up version of the changes made to the claims by the current amendment. The attached page is captioned "VERSION WITH MARKINGS TO SHOW CHANGES MADE."

Respectfully submitted,

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November 30, 2001

VERSION WITH MARKINGS TO SHOW CHANGES MADE

Claims 5-7, 9-11, 13-15, 17-19, 22, 24-26, and 28-32 have been amended as follows:

--5. Compound according to ~~one of claims~~claim 1 ~~to 4~~, in which substituent R_6 means a triethylsilyl, trimethylsilyl, t-butyldimethylsilyl or dimethylphenylsilyl.--

--6. Compound according to ~~one of claims~~claim 1 ~~to 4~~, in which substituent R_6 means tetrahydropyranyl, tetrahydrofuranyl, methoxymethyl, ethoxymethyl, (2-methoxypropyl), ethoxyethyl, phenoxymethyl or (1-phenoxyethyl).--

--7. Compound according to ~~one of claims~~claim 1 ~~to 4~~, in which R_4 is hydrogen, and R_5 is OH, CN, CO_2-alkyl, $CONR_aR_b$, in which R_a is hydrogen, a low (C_1-C_6), optionally branched, cyclic, substituted alkyl group, and R_b is hydrogen, a low (C_1-C_6), optionally branched or substituted alkyl group, or R_a+R_b together are -$(CH_2)_n$-, in which n means 2 to 6, or -$(CH_2)_nE(CH_2)_n$-, in which E is the same as NH, N-alkyl, O, or S, and n is 0 to 5, aryl (phenyl or naphthyl), or a 6-heterocycle.--

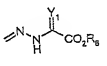
--9. Compound according to ~~one of claims~~claim 1 ~~to 8~~, in which R_5 has a meaning other than hydrogen, and R_4 is OH.

10. Compound according to ~~one of claims~~claim 1 ~~to 9~~, in which ~~————————~~R_4 and R_5 together are carbonyl (=O), hydrazone (=N-NH-R_9, =N-NR_9R_{10}) or oxime (=N-OR_{10}), in which R_9 is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl-, (Ar)alkylcarbonyloxy group or a sulfonic

acid group, such as tosyl or mesyl, and R_{10} is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl group, a sulfonic acid group, such as a tosyl group or mesyl group.--

--11. Compound according to ~~one of claims~~claim 1 ~~to 4~~, in which

R_4 and R_5 together are substituents of the type

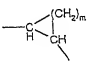


in which Y_1, Y_2 are the same or different and mean O, S, NH or N-R_9 (free valences are in any case hydrogen), in which R_9 ~~has the meanings that are mentioned in claim 10~~is hydrogen, a low (C_1-C_6), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl, (Ar)alkylcarbonyloxy group or a sulfonic acid group, such as tosyl or mesyl.--

--13. Compound according to ~~one of claims~~claim 1 ~~to 12~~, in which G_1 and G_2 together or separately mean:

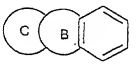
-C(R_{11} R_{12})-, in which R_{11} and R_{12} mean hydrogen, OH, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkyloxy or aryloxy group or together an alkylspiro group (C_3-C_7 spiro ring).--

--14. Compound according to ~~one of claims~~claim 1 ~~to 13~~, in which G_1 and G_2 together mean



in which m is 1 to 7.--

--15. Compound according to ~~one of claims~~claim 1 ~~to 14~~, in which tricyclic substituent Tr is a condensed benzene ring of general formula



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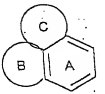

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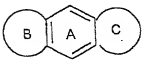


--17. Compound according to claim 15 ~~or 16~~, in which one of rings B and C is an optionally substituted heterocyclic ring and the other is a substituted ring that can contain one or more heteroatoms in the ring.--

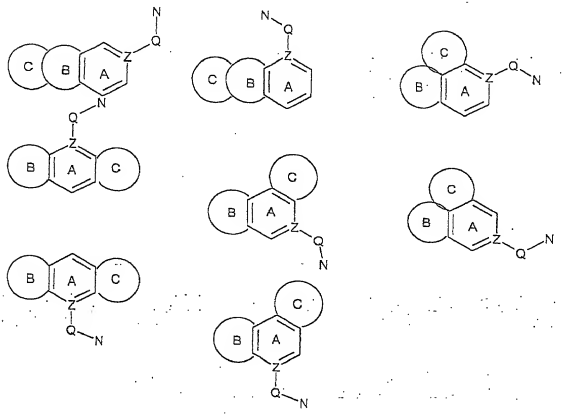
--18. Compound according to ~~one of claims~~claim 15 ~~to 17~~, in which the benzene ring is substituted in at least

one place, whereby these substituents are halogens, such as fluorine and chlorine, halo-C_1-C_3 alkyl groups, such as trifluoromethyl, C_1-C_3 alkyl groups, such as methyl, C_1-C_3 alkoxy groups, such as methoxy, and the hydroxy group, especially a halogen, such as fluorine.--

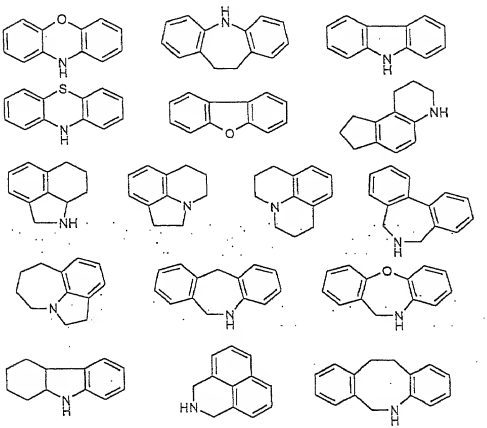
--19. Compound according to ~~one of claims~~claim 15 ~~to 18~~, in which the optionally substituted heterocyclic ring B or C is a 4- to 14-membered ring, preferably a 5- to 7-membered ring, especially a 5- to 7-membered, nonaromatic ring, which contains one or two identical or different heteroatoms.--

--22. Compound according to ~~one of claims~~claim 15 ~~to 21~~, in which the 5- to 8-membered ring B or C is a 5- to 8-membered heterocyclic or alicyclic ring, or a carbon ring that is substituted at least in one place.--

--24. Compound according to ~~one of claims~~claim 1 ~~to 23~~, in which tricyclic substituent Tr is a group from one of the formulas that is presented below



--25. Compound according to ~~one of claims~~claim 1 ~~to 23~~, in which tricyclic substituent Tr is a group from one of the formulas that is presented below



--26. Compound according to ~~one of claims~~claim 1 ~~to 25~~, in which Tr is a cyclic or bicyclic hydrocarbon.--

--28. Compound according to ~~one of claims~~claim 1 ~~to 27~~, in which substituent Tr is substituted at least in one place with R_1, and R_1 has the meanings indicated in claim 1.--

--29. Compound according to ~~one of claims~~claim 1 ~~to 28~~, in which substituent W is nitrogen and/or substituent G_1 is $-(CH_2)_x-$, in which x is equal to 1 or 2 and G_2 means $-(CH_2)_y-$, in which y is equal to 0 to 2, provided that x + y together mean at least 2 and at most 4.--

--30. Compound according to ~~one of claims~~claim 1 ~~to 29~~, in which substituents G_1 and G_2 together or separately have the meaning of $-CR_{11}R_{12}-$, in which R_{11} and R_{12} mean hydrogen, hydroxy, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkoxy or aryloxy group.--

--31. Compound according to ~~one of claims~~claim 1 ~~to 30~~, in which G_1 and G_2 together are an alkylspiro group (C_3-C_7 spiro ring).--

--32. Process for the production of the compounds of ~~claims~~claim 1 ~~to 31~~, characterized in that the combinatory or parallel-synthesis technology is used, whereby the basic molecule is immobilized by a functional group (linker) in a solid phase, which implements the synthesis of the target compound and then this target compound is separated from the solid phase.--